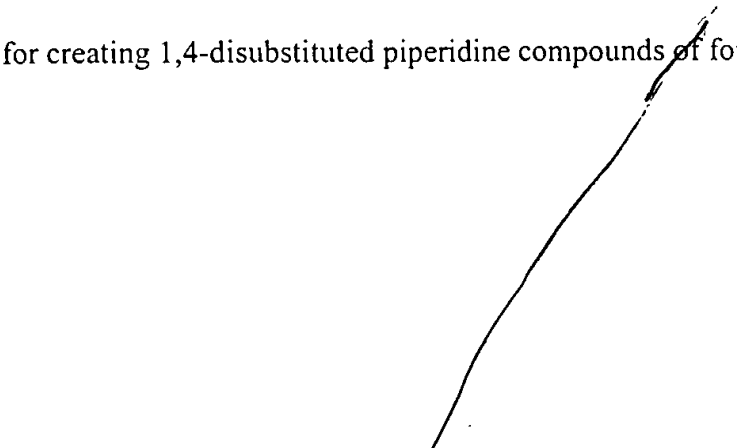
Patent Claims

1. A process for creating 1,4-disubstituted piperidine compounds of formula (1)



(I)

in which

R independently of one another mean hydrogen, fluorine, chlorine, bromine, straight-chain or branched (C_1 - C_5) - alkyl, which in a given case is substituted with fluorine, chlorine, or bromine, with a (C_1 - C_5) - alkyl-ether group and/or with phenyl; straight-chain or branched (C_2 - C_5) - alkenyl, which in a given case is substituted with fluorine, chlorine, or bromine, with a (C_1 - C_5) - alkyl ether group and/or phenyl; phenyl, which in a given case is substituted with fluorine, chlorine, bromine, (C_1 - C_5) - alkyl, -COOH, (C_1 - C_5) - alkyl ester, $-NH_2$, a mono- (C_1 - C_5) - alkyl substituted amine and/or a di-(C_1 - C_5) - alkyl substituted amine; a hetero-aromatic, which is bonded directly or via straight-chain or branched (C_1 - C_5) - alkylene to the pyridine and/or the phenyl ring, and contains a nitrogen atom and/or a sulfur atom and/or 1, 2, or 3 nitrogen atoms and contains a nitrogen atom and/or a sulfur atom and/or 1, 2, or 3 nitrogen atoms and a 5- or 6-member ring system which in a given case is substituted with fluorine, chlorine, bromine, (C_1 - C_5) - alkyl, -COOH, (C_1 - C_5) - alkyl ester, $-NH_2$, a mono- (C_1 - C_5) - alkyl substituted amine and/or a di-(C_1 - C_5) - alkyl substituted amine, or two R substituents bonded to the same ring form an aromatic or hetero-aromatic ring, which in a given case is substituted with fluorine, chlorine, bromine, (C_1 - C_5) - alkyl, -COOH, (C_1 - C_5) - alkyl ester, $-NH_2$, a mono- (C_1 - C_5) - alkyl substituted amine and/or a di-(C_1 - C_5) - alkyl substituted amine;

Y means $-(CH_2)_n-$, in which n = 0, 1, 2, or 3; oxygen, sulfur; vinyl; CH_2-O; -O-CH_2; -CH_2-, or -S-CH_2;

Z independently of one another mean hydrogen, $-C(O)R^1$; $-C(O)OR^1$; $-O)S(O)R^2$; or one of the meanings of R^1;

R^1 independently of one another mean straight-chain or branched (C_1 - C_5) - alkyl, which in a given case is substituted with fluorine, chlorine, or bromine, with a (C_1 - C_5) - alkyl ether group, and/or with phenyl; straight-chain or branched (C_2 - C_5) - alkenyl, which in a given case is substituted with fluorine, chlorine, or bromine, with a (C_1 - C_5) - alkyl ether group, and/or phenyl; phenyl, which in a given case is substituted with fluorine, chlorine, bromine, (C_1 - C_5) - alkyl, -COOH, (C_1 - C_5) - alkyl ester, $-NH_2$, a mono- (C_1 - C_5) - alkyl substituted amine and/or a di-(C_1 - C_5) - alkyl substituted amine; a hetero-aromatic, which is bonded directly or via straight-chain or branched (C_1 - C_5) - alkylene to the pyridine and/or the phenyl ring, and contains a nitrogen atom and/or a sulfur atom and/or 1, 2, or 3 nitrogen atoms and contains a nitrogen atom and/or a sulfur atom and/or

1, 2, or 3 nitrogen atoms and a 5- or 6-member ring system which in a given case is substituted with fluorine, chlorine, bromine, (C_1 - C_5) - alkyl, -COOH, (C_1 - C_5) - alkyl ester, -NH_2, a mono- (C_1 - C_5) - alkyl substituted amine and/or a di-(C_1 - C_5) - alkyl substituted amine, or straight-chain or branched (C_1 - C_5) - alkyl, which is substituted by such a hetero-aromatic.

R^2 means one of meanings of R^1, or a bridged saturated isocyclic system, which preferably is derived from camphor sulfonic acid;

wherein a compound of formula (II)

(II)

in which the substituents R and Y have the meanings cited above, with a compound of formula (III)

(III)

in which Z has the meaning specified above, is brought to react in a single process step by means of reductive dimerization (i) in the presence of a finely dispersed metal compound of the IVth and/or Vth and/or VIth subgroup of the periodic table of elements or a low-valent oxidation stage of such a corresponding metal compound, (ii) the finely dispersed metal or the low-valent oxidation stage being produced *in situ* by means of a reducing agent, and (iii) in the presence of an inert solvent, the reducing agent being chosen from the group of alkali metals, metals of the IInd main group or IInd subgroup of the periodic table, alloys of these metals, inclusion compounds of such metals, or of higher polycyclic aromatics, and the solvent is chosen for the group of the inert ethers or the group of nitrogen-containing unsaturated hetero-aromatics or the tertiary amines.

2. The process in accordance with Patent Claim 1, wherein R independently of one another means hydrogen, fluorine, chlorine, bromine, methyl, or trifluoromethyl.

3. The process in accordance with Patent Claim 1, wherein R independently of one another means hydrogen, fluorine, or chlorine.

4. The process in accordance with one of the Patent Claims 1 or 2, wherein the compound of

formula (1) has two substituents R, which are different from hydrogen, one substituent R being on the pyridine ring and one substituent R on the benzoring.

5. The process in accordance with Patent Claim 4, wherein the substituent R is fixed on the benzoring in 8-position.

6. The process in accordance with one of the Patent Claims 1 to 3, wherein the compound of formula (1) only has a single substituent R, which is different from hydrogen, this substituent R being fixed in R-position.

7. The process in accordance with one of the Patent Claims 1 to 6, wherein

Y means $-CH_2-CH_2$;
R^1 means $(C_1 - C_5)$-alkyl , preferably ethyl;
R^2 means $(C_1 - C_5)$ - alkyl, benzyl, vinyl, or dimethyl amino, preferably methyl;
Z means $-C(O)R^1$; $-C(O)OR^1$, preferably $-C(O)OR^1$, and preferably $-C(O))-C_2H_5$.

8. The process in accordance with one of the Patent Claims 1 to 7, wherein a halogen compound is used as the metal compound.

9. The process in accordance with Patent Claim 8, wherein a chloride of titanium, zirconium, vanadium, molybdenum, tungsten, and/or uranium is used as metal compound.

10. The process in accordance with Patent Claim 8, wherein titanium chloride is used as a metal compound and a low-valent stage of this compound is created *in situ* by means of a reducing agent.

11. The process in accordance with one of the Patent Claims 1 to 9, wherein zinc, lithium, sodium, potassium, magnesium, or calcium or alloys containing zinc, lithium, sodium, potassium, magnesium, and/or calcium, calcium hydride, sodium borhydride, or lithium aluminum hydride is used as reducing agent.

12. The process in accordance with one of the patent Claims 1 to 9, wherein an alloy of an alkali metal, a metal of the IInd main group, or the IInd subgroup of the periodic table with zinc, a zinc-copper alloy, or a potassium-graphite inclusion compound is the reducing agent.

13. The process in accordance with one of the patent Claims 1 to 12, wherein 1,4-dioxane, 1,2-dimethoxyethane, tetrahydrofurane, diethylene glycol dimethyl ether, tert.-butyl-methyl ether, pyridine, or triethyl amine is used as solvent.

14. The process in accordance with one of the Patent Claims 1 to 13, wherein the compound 4-(8-fluoro-5,6-dihydro-11*H*-benzo-[5,6]-cyclohepta-[1,2-b]pyridine-11-ylidene)-1-piperidine carboxylic acid ethyl ester is produced.

15. The compounds produced in accordance with one of the Patent Claims 1 to 14